

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
14 December 2000 (14.12.2000)

PCT

(10) International Publication Number
WO 00/75116 A2

(51) International Patent Classification⁷: C07D 221/00

(21) International Application Number: PCT/EP00/05783

(22) International Filing Date: 7 June 2000 (07.06.2000)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/137,868 7 June 1999 (07.06.1999) US

(71) Applicant (for all designated States except US):
WARNER-LAMBERT COMPANY [US/US]; 201
Tabor Road, Morris Plains, NJ 07950 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): CALVET, Alain
[FR/US]; 3475 Creekside Drive, Ann Arbor, MI 48105
(US). JACOBELLI, Henri [FR/FR]; 65, avenue du
Général de Gaulle, F-91550 Paray-Vieille-Poste (FR).
PUAUD, Jocelyne [FR/FR]; 29, chemin de la mère Dieu,
F-91310 Monthery (FR). ROMAN, François, J. [FR/FR];
11, allée Pierre Fresnay, F-94400 Vitry-sur-Seine (FR).
HAMON, Jacques [FR/FR]; 6, allée du Bellay, F-91400

Orsay (FR). GROUHEL, Agnès [FR/FR]; 2, rue des
Peupliers, F-92190 Meudon la Forêt (FR).

(74) Agents: POCHART, François et al.; Cabinet
Hirsch-Pochart, 34, rue de Bassano, F-75008 Paris
(FR).

(81) Designated States (national): AE, AG, AL, AU, BA, BB,
BG, BR, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR,
HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA,
MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR,
TT, UA, US, UZ, VN, YU, ZA.

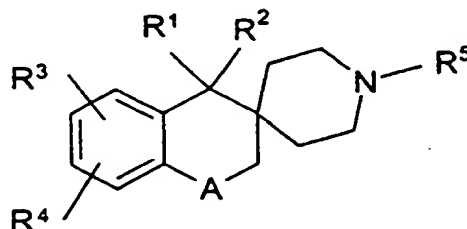
(84) Designated States (regional): ARIPO patent (GH, GM,
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian
patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European
patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE,
IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG,
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

Published:

— Without international search report and to be republished
upon receipt of that report.

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: TRICYCLIC ANALGESICS



(1)

(57) Abstract: A tricyclic compound of Formula (I): wherein: R¹ is hydrogen or hydroxy; R² is hydrogen or hydroxy; or R¹ and R² together are oxygen; A is a bond, CH₂, CH CH₃, CH₂ CH₂ or C(CH₃)₂; R³ and R⁴ are the same or different and are hydrogen, halo, C₁-C₆ alkyl, C₁-C₄ alk xy, trifluoromethyl, NO₂, COR⁶, COOR⁶ or NR⁶R⁷, wherein R⁶ and R⁷ are the same or different and are hydrogen, C₁-C₆ alkyl or benzyl; R⁵ is hydrogen, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₃₋₆ cycloalkyl, (O=C)-C₁₋₆ alkyl, (O=C)-C₂₋₆ alkenyl, (O=C)-C₃₋₆ cycloalkyl, wherein said alkyl, alkenyl and cycloalkyl groups can be substituted by 1, 2 or 3 groups selected from halo, C₃-C₆ cycloalkyl, phenyl or substituted phenyl, and salts thereof, are particularly useful for treating, among other indications, neuropathic pain and other CNS disorders.

Express Mail No. EF378134286US

WO 00/75116 A2